

Claim 39, ^{re} line 2, delete "17" and substitute --47--.

Claim 20 (Amended) A compound according to claim [1]

⁴ which is N-methyl-1-norjirimycin, n-ethyl-1-norjirimycin, N-n-butyl-1-norjirimycin, N-benzyl-1-norjirimycin, N-allyl-1-norjirimycin, N-(β -methoxy-ethyl)-1-norjirimycin, [N-methyl-1-desoxy-norjirimycin, N-ethyl-1-desoxynorjirimycin, N-n-propyl-1-desoxy-norjirimycin, N-n-butyl-1-desoxy-norjirimycin,] N-n-pentyl-1-desoxy-norjirimycin, N-n-hexyl-1-desoxynorjirimycin, [N-iso-butyl-1-desoxy-norjirimycin,] N-benzyl-1-desoxynorjirimycin, N-allyl-1-desoxy-[norjirimycin] norjirimycin, N-(β -methoxy-ethyl)-1-desoxynorjirimycin, N-methyl-1-desoxy-norjirimycin-1-sulphonic acid, N-octyl-1-desoxynorjirimycin, N-nonyl-1-desoxy-norjirimycin, 1-tosylaminomethyl-1-desoxy norjirimycin, N-methyl-1-tosylaminomethyl-1-desoxynorjirimycin, N-nonyl-1-acetylaminomethyl-1-desoxynorjirimycin, N-methyl-benzoylaminomethyl-1-desoxynorjirimycin, N-propargyl-1-^{desoxy}~~desoxy~~norjirimycin or N-(2-methylmercaptoethyl)-1-desoxy-norjirimycin.

Claim 11, ^{re} line 1, delete "1" and substitute --47--;

line 1, delete "Hepthyl" and substitute --Heptyl--.

18¹ Twice
Claim ~~24~~ (Amended)

12
B
A pharmaceutical composition for
the treatment of diabetes, hyperlipaemia or adiposity
containing as an active ingredient an effective amount for
the treatment of diabetes, hyperlipaemia or adiposity of a
compound according to claim ~~47~~ ¹ [1] in admixture with a solid
or liquefied gaseous diluent or in admixture with a liquid
diluent other than a solvent of a molecular weight less than
200 except in the presence of a surface-active agent.

19¹ Twice
Claim ~~25~~ (Amended)

B
A pharmaceutical composition for
the treatment of diabetes, hyperlipaemia or adiposity
containing as an active ingredient an effective amount of a
compound according to claim [1] ~~47~~ ¹ in the form of a sterile
or physiologically isotonic aqueous solution.

20¹ Twice
Claim ~~26~~ (Amended)

13
73
A method of combating adiposity,
diabetes and/or [hypereipaemia] hyperlipaemia in
warm-blooded animals which comprises administering to the
said animal an effective amount for the treatment of
diabetes, hyperlipaemia or adiposity of an active compound
according to claim [1] ~~47~~ ¹ either alone or in admixture with
a diluent or in the form of a medicament.

13
74
Claim ~~27~~²¹ ^{Twice} (Amended) A medicament in dosage unit form for the treatment of diabetes, hyperlipaemia or adiposity comprising an effective amount for the treatment of diabetes, hyperlipaemia or adiposity of a compound according to claim [1] ~~4~~¹ and an inert pharmaceutical carrier.

14
Claim ~~23~~²⁴ ^{Twice} (Amended) A pharmaceutical composition for the treatment of diabetes, hyperlipaemia or adiposity containing as an active ingredient an effective amount for the treatment of diabetes, hyperlipaemia or adiposity of a compound according to claim [17] ~~18~~¹⁷ in admixture with a solid or liquefied gaseous diluent or in admixture with a liquid diluent other than a solvent of a molecular weight less than 200 except in the presence of a surface-active agent.

15
78
Claim ~~35~~³⁴ ^{Twice} (Amended) A pharmaceutical composition for the treatment of diabetes, hyperlipaemia or adiposity containing as an active ingredient an effective amount for the treatment of diabetes, hyperlipaemia or adiposity of a compound according to claim [17] ~~18~~¹⁷ in the form of a sterile or physiologically isotonic aqueous solution.

16
Claim ~~36~~²⁵ ^{Twice} (Amended) A medicament in dosage unit form comprising an effective amount for the treatment of diabetes, hyperlipaemia or adiposity of a compound according to claim [17] ~~18~~¹⁷ and an inert pharmaceutical carrier.

B
J⁶
Claim ~~38~~³¹ ^{Twice} (Amended) A method of combating adiposity, diabetes and/or hyperlipaemia in warm-blooded animals which comprises administering to the animals an effective amount for the treatment of diabetes, hyperlipaemia or adiposity of an active compound according to claim [17] ¹² ~~18~~ either alone or in admixture with a diluent or in the form of a medicament.

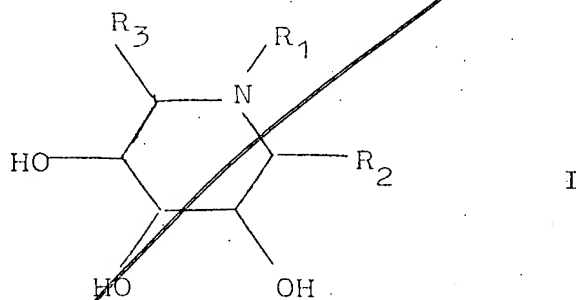
Claim 40 (Amended) A pharmaceutical composition for the treatment of diabetes, hyperlipaemia or adiposity containing as an active ingredient an effective amount for the treatment of diabetes, hyperlipaemia or adiposity of a compound according to claim 18 in admixture with a solid or liquefied gaseous diluent or in admixture with a liquid diluent other than a solvent of a molecular weight less than 200 except in the presence of a surface-active agent.

J⁷
Claim 41 (Amended) A pharmaceutical composition for the treatment of diabetes, hyperlipaemia or adiposity containing as an active ingredient an effective amount for the treatment of diabetes, hyperlipaemia or adiposity of a compound according to claim 18 in the form of a sterile or physiologically isotonic aqueous solution.

Claim 42 (Amended) A medicament for the treatment of diabetes, hyperlipaemia or adiposity comprising an effective amount for the treatment of diabetes, hyperlipaemia or adiposity of a compound of claim 18 in the form of tablets, pills, dragees, capsules, ampoules, or suppositories.

97 cont'd
Claim 43 (Amended) A method of combating adiposity, diabetes and/or hyperlipaemia in warm-blooded animals which comprises administering to the animals an effective amount for the treatment of diabetes, hyperlipaemia or adiposity of an active compound according to claim 18 either alone or in admixture with a diluent or in the form of a medicament.

Claim 47 (Amended) A compound of the formula



98
in which

R₁ is [C₁] C₅-C₃₀ alkyl, C₂-C₁₈ alkenyl, C₂-C₁₈ alkynyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkenyl, C₃-C₈-cycloalkynyl [or] \perp phenyl

or substituted C₁-C₄-alkyl

(a),

said alkyl, cycloalkyl, cycloalkenyl and cycloalkinyl being unsubstituted or substituted by hydroxy, C₁-C₄-alkoxy, acyloxy, amino, mono-C₁-C₄ alkylamino, di-C₁-C₄ alkylamino, acylamino, mercapto, C₁-C₄ alkylthio, halogen, C₁-C₄ alkylcarbonyl, carboxyl, nitro, cyano, formyl, sulfo, a heterocyclic radical derived from a hexose or pentose, attached to the alkyl moiety directly via a ring atom or via an -O-, -S- or -NH-bridge, naphthyl or phenyl (b); said acyl being derived from an aliphatic carboxylic acid having from 1 to 7 C-atoms, a phenyl carboxylic acid, unsubstituted or substituted by carboxy, hydroxy, halogen, C₁ to C₄ alkyl, C₁ to C₄ alkoxy, nitro or amino, or a 5- or 6-membered heterocyclic carboxylic acid containing from 1 to 3 hetero-atoms each of which is N, O or S, unsubstituted or substituted by C₁ to C₄ alkyl, chlorine, bromine or amino; said phenyl (a) being unsubstituted or substituted by C₁ to C₁₀ alkyl, C₁ to C₁₀ chloroalkyl, C₁ to C₁₀ nitroalkyl, C₁ to C₁₀ cyanoalkyl, C₁ to C₁₀ alkenyl, hydroxyl, C₁ to C₄ alkoxy, amino, mono-C₁ to C₄ alkylamino, di-C₁-C₄ alkylamino, mercapto, C₁-C₄ alkylthio, carboxyl, C₁-C₄ carbalkoxy, sulfo, C₁-C₄ alkylsulfonyl, phenylsulfonyl, aminosulfonyl, C₁-C₄ alkylaminosulfonyl, di-C₁-C₄ alkylaminosulfonyl, nitro, cyano, formyl, C₁-C₄ alkylcarbonyl-amino, C₁-C₄ alkylcarbonyl, benzoyl, benzylcarbonyl or

[phenylacylcarbonyl] phenylethylcarbonyl;

said substituted C₁-C₄ alkyl being substituted by hydroxy,

*Sub
Cont 8
98
cont 8*

C₁-C₄-alkoxy, acyloxy, amino, mono- C₁-C₄ alkylamino, di-
C₁-C₄ alkylamino, acylamino, mercapto, C₁-C₄ alkylthio,
halogen, C₁-C₄ alkylcarbonyl, carboxyl, nitro, cyano,
formyl, sulfo, a heterocyclic radical derived from a hexose
or pentose, attached to the alkyl moiety directly via a ring
atom or via an -O-, -S- or -NH-bridge, naphthyl or phenyl
(a); said acyl being derived from an aliphatic carboxylic
acid having from 1 to 7 C-atoms, a phenyl carboxylic acid,
unsubstituted or substituted by carboxy, hydroxy, halogen,
C₁ to C₄ alkyl, C₁ to C₄ alkoxy, nitro or amino, or a 5- or
6-membered heterocyclic carboxylic acid containing from 1 to
3 hetero-atoms each of which is N, O or S, unsubstituted or
substituted by C₁ to C₄ alkyl, chlorine, bromine or amino;
said phenyl (a) being unsubstituted or substituted by C₁ to
C₁₀ alkyl, C₁ to C₁₀ chloroalkyl, C₁ to C₁₀ nitroalkyl, C₁
to C₁₀ cyanoalkyl, C₁ to C₁₀ alkenyl, hydroxyl, C₁ to C₄
alkoxy, amino, mono-C₁ to C₄ alkylamino, di-C₁-C₄
alkylamino, mercapto, C₁-C₄ alkylthio, carboxyl, C₁-C₄
carbalkoxy, sulfo, C₁-C₄ alkylsulfonyl, phenylsulfonyl,
aminosulfonyl, C₁-C₄ alkylaminosulfonyl, di-C₁-
C₄ alkylaminosulfonyl, nitro, cyano, formyl, C₁-C₄ alkyl-
carbonylamino, C₁-C₄ alkylcarbonyl, benzoyl, benzylcarbonyl
or [phenylacylcarbonyl] phenylethylcarbonyl; said naphthyl
and naphthyl and phenyl (b) being unsubstituted or
substituted by hydroxyl, amino, C₁-C₄ alkylamino, di-

C_1-C_4 alkylamino, C_1-C_4 alkoxy, nitro, cyano, carboxy, C_1-C_4 alkoxy carbonyl, C_1-C_6 alkyl, halogen, C_1-C_4 alkylthio, mercapto, C_1-C_4 alkylsulfonyl, sulfur, aminosulfonyl or C_1-C_4 alkylaminosulfonyl

R_2 is -H, -OH, $-SO_3H$, -CN, $-CH_2NH_2$, $-CH_2NH-[]$ (C_1 to C_{14} -alkyl[]),

$-CH_2NH-\overset{\overset{O}{\parallel}}{C}-[[]](C_1 \text{ to } C_{14}\text{-alkyl}[]) -CH_2-NH-SO_2[[]](C_1 \text{ to } C_{14}[]) \text{alkyl}$

$-CH_2-NH-SO_2$ -phenyl, $-CH_2-NH-\overset{\overset{O}{\parallel}}{C}$ -phenyl, $-CH_2-NH-\overset{\overset{O}{\parallel}}{C}-NH[[]](C_1 \text{ to } C_{14}\text{-alkyl}[])$

$\text{alkyl}[])$, $-CH_2-NH-\overset{\overset{O}{\parallel}}{C}-NH$ -phenyl, $-CH_2-NH-\overset{\overset{O}{\parallel}}{C}-NH[[]](C_1 \text{ to } C_{14}\text{-alkyl}[])$

$-CH_2-NH-\overset{\overset{S}{\parallel}}{C}-NH$ -phenyl, $-CH_2-NH-\overset{\overset{O}{\parallel}}{C}-O-[[]](C_1 \text{ to } C_{14}\text{-alkyl}[])$ or

$-CH_2-NH-\overset{\overset{O}{\parallel}}{C}-O$ -phenyl wherein phenyl is unsubstituted or substituted

by methyl, ethyl, methoxy, ethyl, methoxy, chlorine, bromine or nitro,

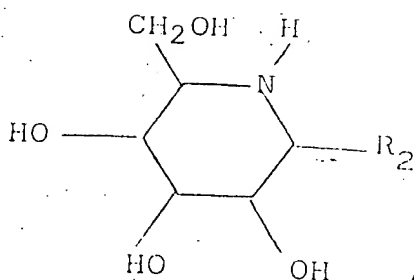
R_3 is -H, $-CH_3$, $-CH_2OH$, $-CH_2NH_2$, $NHR'-CH_2-$, $NR'R''-CH_2-$, $R'CONH-CH$

$R'CO-NR''CH_2-$, $R'O-CH_2$, $R'COOCH_2-$, $R'SO_2NHCH_2-$, $R'SO_2-NR''CH_2-$,

$R'NH-CO-NH-CH_2-$, $R'NHCS-NH-CH_2-$, $R'O-CO-NH-CH_2-$, wherein R' and R''

are the same or different and each has the meaning hydrogen or any of the meanings given above for R_1 .

Claim 48 Amended) A compound of the formula



wherein

R_2 is $-\text{CH}_3$, $-\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{NH}-[[[C_1 \text{ to } C_{14}]]]$,

$-\text{CH}_2\text{NH}-\text{C}(=\text{O})-[[[C_1 \text{ to } C_{14}-\text{alkyl}]]]$, $-\text{CH}_2\text{NH}-\text{SO}_2-[[[C_1 \text{ to } C_{14}]]]-\text{alkyl}$

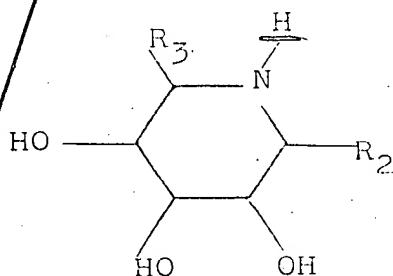
$-\text{CH}_2\text{NH}-\text{SO}_2-\text{phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(=\text{O})-\text{phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(=\text{O})-\text{NH}-[[[C_1 \text{ to } C_{14}-$

$\text{alkyl}]]]$, $-\text{CH}_2\text{NH}-\text{C}(=\text{O})-\text{NH}-\text{phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(=\text{O})-\text{NH}-[[[C_1 \text{ to } C_{14}-\text{alkyl}]]]$, $-\text{CH}_2-$

$\text{NH}-\text{C}(=\text{S})-\text{NH}-\text{phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(=\text{O})-[[[C_1 \text{ to } C_{14}-\text{alkyl}]]]$ or $-\text{CH}_2\text{NH}-\text{C}(=\text{O})-\text{phenyl}$

wherein phenyl is unsubstituted or substituted by methyl, ethyl, methoxy, [ethyl, methoxy,] chlorine, bromine, or nitro.

Claim 49 (Amended) A compound of the formula



wherein

R_2 is H, $-SO_3H$, $-CN$, $-CH_2NH_2$, $-CH_2NH-[[[C_1 \text{ to } C_{14}\text{-alkyl}]]]$,

$-CH_2NH-\overset{\overset{O}{\parallel}}{C}-[[[C_1 \text{ to } C_{14}\text{-alkyl}]]]$, $-CH_2NH-SO_2-[[[C_1 \text{ to } C_{14}]]]$ -alkyl

CH_2NH-SO_2 -phenyl, $-CH_2NH-\overset{\overset{O}{\parallel}}{C}$ -phenyl, $-CH_2NH-\overset{\overset{O}{\parallel}}{C}-NH-[[[C_1 \text{ to } C_{14}$

alkyl)], $-CH_2NH-\overset{\overset{O}{\parallel}}{C}-NH$ -phenyl, $-CH_2NH-\overset{\overset{S}{\parallel}}{C}-NH-[[[C_1 \text{ to } C_{14}\text{-alkyl}]]]$, $-CH_2-$

$NH-\overset{\overset{S}{\parallel}}{C}-NH$ -phenyl, $-CH_2NH-\overset{\overset{O}{\parallel}}{C}-O-[[[C_1 \text{ to } C_{14}\text{-alkyl}]]]$ or $-CH_2NH-\overset{\overset{O}{\parallel}}{C}-O$ -phenyl

wherein phenyl is unsubstituted or substituted by methyl, [ethyl,

methoxy], ethyl, methoxy, chlorine, bromine or nitro and R_3 is

CH_2NH_2 , $-CH_2-NHR'$, $-CH_2-NR'R''$, $-CH_2-NHCOR'$, $-CH_2-NR''-COR'$,

$-CH_2OR'$, $-CH_2-OCOR'$, $-CH_2-NHSO_2R'$, $-CH_2-NR''-SO_2R'$, $-CH_2-NHCONH_2$,

$-CH_2-NHCONHR'$, $-CH_2-NHCSNH_2$, $-CH_2-NHCSNHR'$, $-CH_2-NH-COOR'$

wherein R' and R'' are the same or different and each is

C_1-C_{30} alkyl, C_2-C_{18} alkenyl, C_2-C_{18} alkynyl, C_3-C_8 cyclo-

alkyl, C_3-C_8 cycloalkenyl, C_3-C_8 -cycloalkynyl or phenyl (a),

said alkyl, cycloalkyl, cycloalkenyl and cycloalkynyl being unsubstituted

or substituted by hydroxy, C_1-C_4 -alkoxy, acyloxy, amino, mono-

C_1-C_4 alkylamino, di- C_1-C_4 alkylamino, acylamino, mercapto, C_1-C_4

alkylthio, halogen, C_1-C_4 alkylcarbonyl, carboxyl, nitro, cyano, formyl,

sulfo, a heterocyclic radical derived from a hexose or pentose,

attached to the alkyl moiety directly via a ring atom or via an -O-,

-S- or -NH-bridge, naphthyl or phenyl (b) said acyl being derived

from an aliphatic carboxylic acid having from 1 to 7 C-atoms,

a phenyl carboxylic acid, unsubstituted or substituted by carboxy,

hydroxy, halogen, C₁ to C₄ alkyl, C₁ to C₄ alkoxy, nitro or amino, or a 5- or 6-membered heterocyclic carboxylic acid containing from 1 to 3 hetero-atoms each of which is N, O or S, unsubstituted or substituted by C₁ to C₄ alkyl, chlorine, bromine or amino;

said phenyl (a) being unsubstituted or substituted by C₁ to C₁₀ alkyl, C₁ to C₁₀ chloroalkyl, C₁ to C₁₀ nitroalkyl, C₁ to C₁₀ cyanoalkyl, C₁ to C₁₀ alkenyl, hydroxyl, C₁ to C₄ alkoxy, amino mono-C₁ to C₄ alkylamino, di-C₁-C₄ alkylamino, mercapto, C₁-C₄ alkylthio, carboxyl, C₁-C₄-carbalkoxy, sulfo, C₁-C₄ alkylsulfonyl, phenylsulfonyl, aminosulfonyl, C₁-C₄ alkylaminosulfonyl, di-C₁-C₄ alkylaminosulfonyl, nitro, cyano, formyl, C₁-C₄ alkylcarbonylamino, C₁-C₄ alkylcarbonyl, benzoyl, benzylcarbonyl or phenylacetylcarbonyl;

said naphthyl and phenyl (b) unsubstituted or substituted by hydroxyl, amino, C₁-C₄ alkylamino, di-C₁-C₄ alkylamino, C₁-C₄ alkoxy, nitro, cyano, carboxy, C₁-C₄ alkoxycarbonyl, C₁-C₆ alkyl, halogen, C₁-C₄ alkylthio, mercapto, C₁-C₄ alkylsulfonyl, sulfur, aminosulfonyl or C₁-C₄ alkylaminosulfonyl.